

10/ 560,659

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NEWS	13	FEB 06	Patent sequence location (PSL) data added to USGENE
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NEWS	23	MAR 06	INPADOCDB and INPAFAMDB enhanced with new display formats

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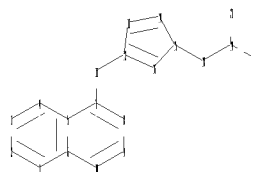
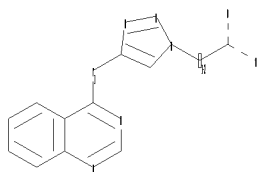
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10/ 560,659



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ring nodes :
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chain bonds :
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ring bonds :
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15-16
exact/norm bonds :
7-11 11-12 12-13 13-14 14-15 15-16 15-18 19-20 19-21
exact bonds :
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normalized bonds :
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isolated ring systems :
containing 1 : 12 :
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G1:O,N

Hydrogen count :

9:>= minimum 1

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
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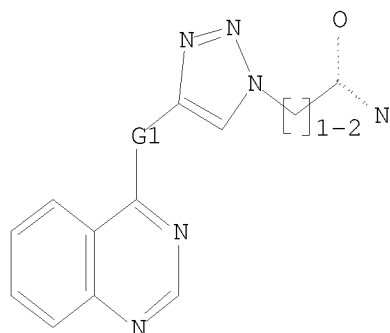
=> d L1

L1 HAS NO ANSWERS

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L1

STR



G1 O,N

Structure attributes must be viewed using STN Express query preparation.

=> s L1 full

FULL SEARCH INITIATED 10:11:20 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 39 TO ITERATE

100.0% PROCESSED 39 ITERATIONS

39 ANSWERS

SEARCH TIME: 00.00.01

L2

39 SEA SSS FUL L1

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186.10

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FILE COVERS 1907 - 10 Mar 2009 VOL 150 ISS 11

FILE LAST UPDATED: 9 Mar 2009 (20090309/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12

L3 1 L2

=> d 13 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 1 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:1154697 CAPLUS

DOCUMENT NUMBER: 142:93862

TITLE: Preparation of (triazolylamino)quinazoline derivatives as aurora kinase inhibitors

INVENTOR(S): Mortlock, Andrew Austen; Heron, Nicola Murdoch; Jung, Frederic Henri

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 80 pp.

CODEN: PIXXD2

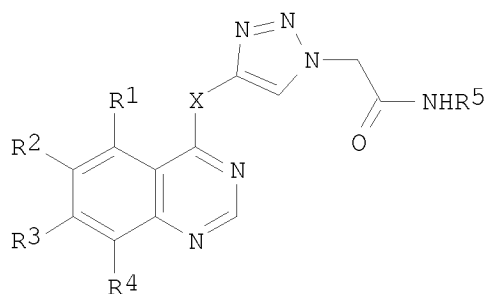
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LANGUAGE: English

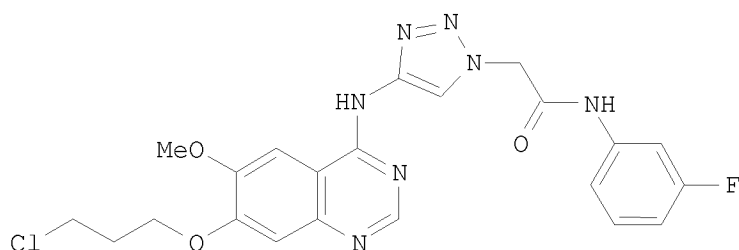
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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EP 1644361	A1	20060412	EP 2004-736769	20040614
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NO 2005005891	A	20060207	NO 2005-5891	20051212
US 20060178382	A1	20060810	US 2005-560659	20051213
MX 2005013825	A	20060228	MX 2005-13825	20051216
PRIORITY APPLN. INFO.:			EP 2003-291463	A 20030617
			WO 2004-GB2564	W 20040614
OTHER SOURCE(S):	MARPAT 142:93862			
GI				



I



II

AB Title compds. represented by the formula I [wherein X = O or (alkyl)amino; R1, R3, R4 = independently H, halo or X1R11; R2 = H, halo, nitro, cyano, X2R12; X1-X2 = independently a direct bond, O, NH, (alkyl)amino, etc.; R11, R12 = independently H, (cyclo)alkyl, (cyclo)alkenyl, heterocyclyl, etc.; R5 = (un)substituted (hetero)aryl; and salts, esters or prodrugs thereof] were prepared as aurora kinase inhibitors. For example, II was given in a multi-step synthesis starting from the reaction of 2-(4-amino-1H-1,2,3-triazol-1-yl)-N-(3-fluorophenyl)acetamide with 4-chloro-7-(3-chloropropoxy)-6-methoxyquinazoline. II showed 50% inhibition of enzyme activity at concentration of 0.1  $\mu$ M in vitro aurora-A kinase inhibition test, and the compds. of invention are generally active at 1 nM to 100  $\mu$ M in vitro cell proliferation assay and 1 nM to 10  $\mu$ M in vitro cell cycle anal. assay. Thus, I and their pharmaceutical compns. are useful as aurora kinase inhibitors for the treatment of proliferative diseases, such as cancer (no data).

IT 816430-16-7P 816430-17-8P 816430-18-9P

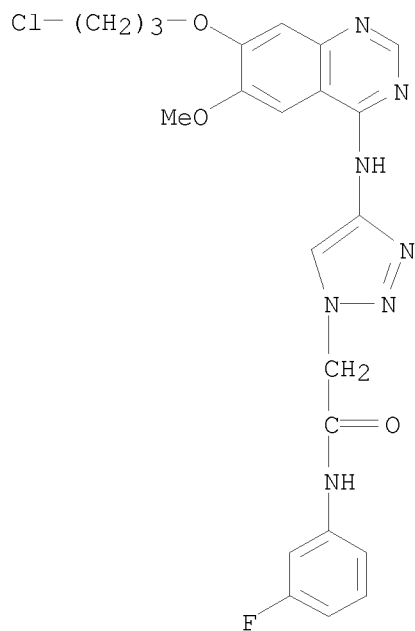
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of (triazolylamino)quinazoline derivs. as aurora kinase inhibitors for the treatment of cancers)

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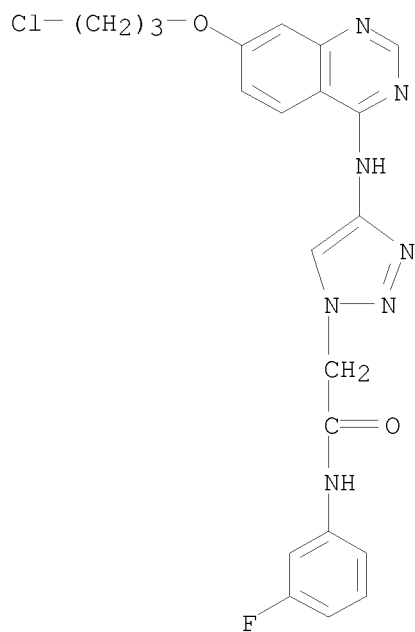
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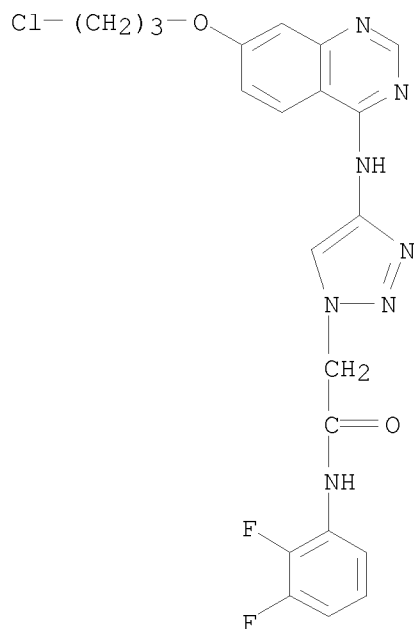
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RN 816430-18-9 CAPLUS

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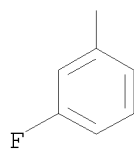
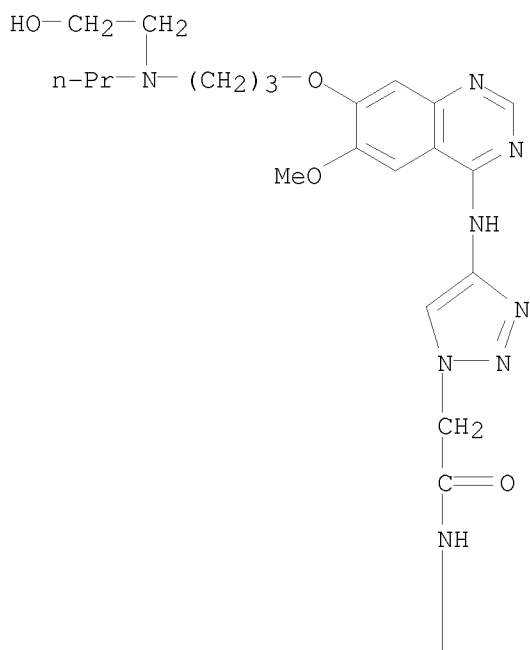
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of (triazolylamino)quinazoline derivs. as aurora kinase  
 inhibitors for the treatment of cancers)

RN 816430-19-0 CAPLUS

CN 1H-1,2,3-Triazole-1-acetamide, N-(3-fluorophenyl)-4-[[7-[3-[(2-  
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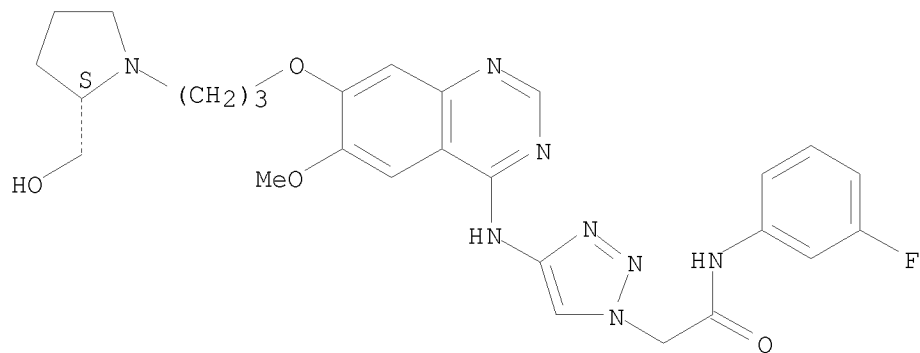




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(CA INDEX NAME)

Absolute stereochemistry.

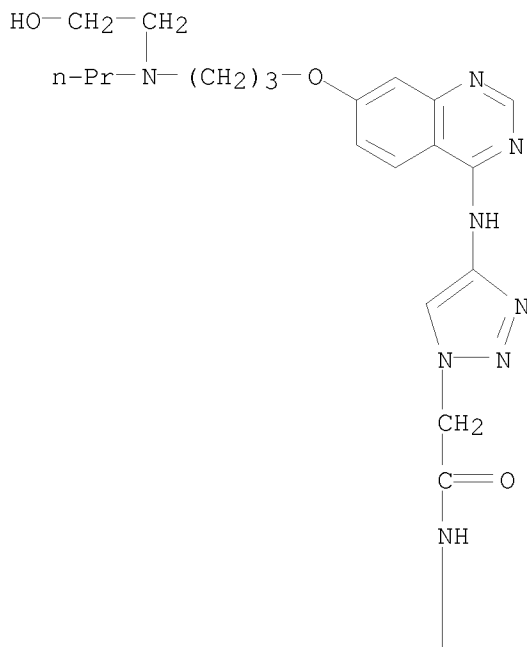


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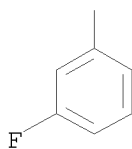
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PAGE 2-A

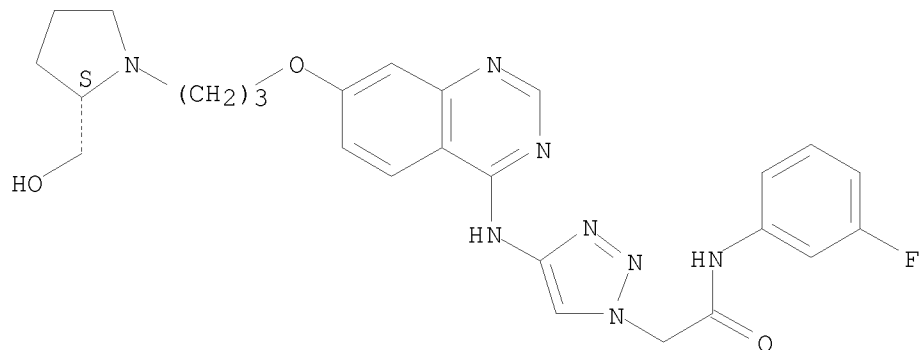


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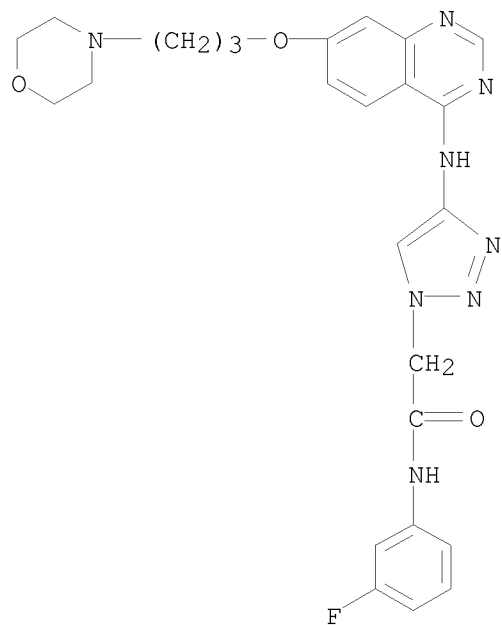
Absolute stereochemistry.

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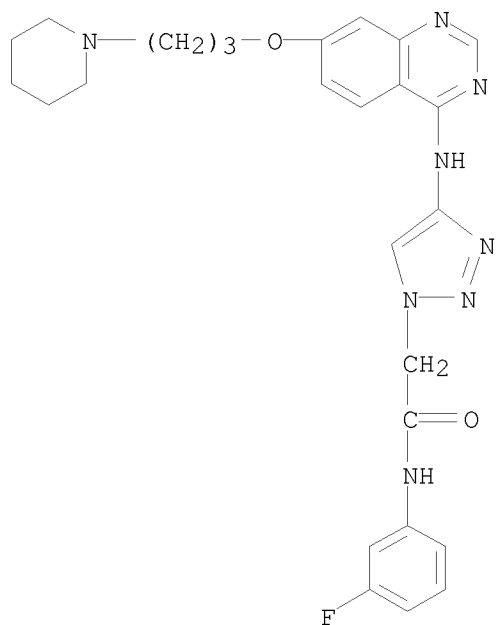
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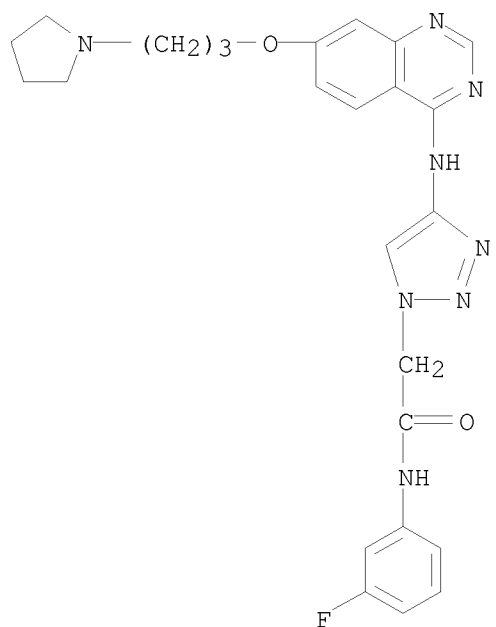
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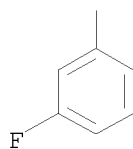
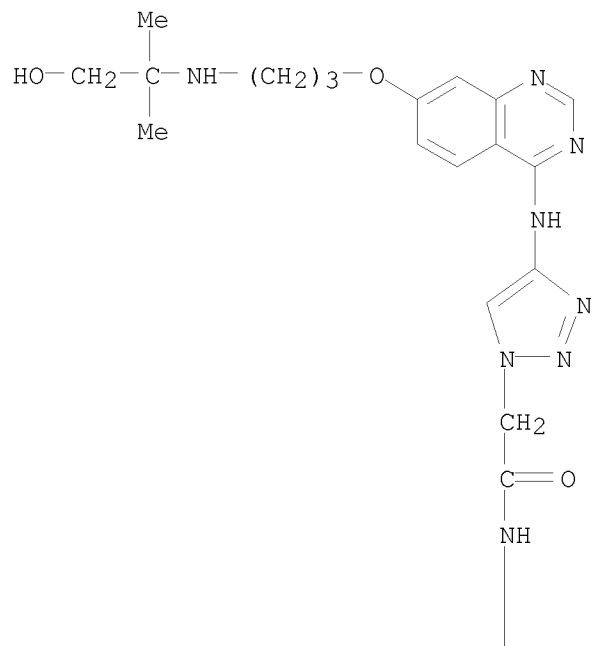
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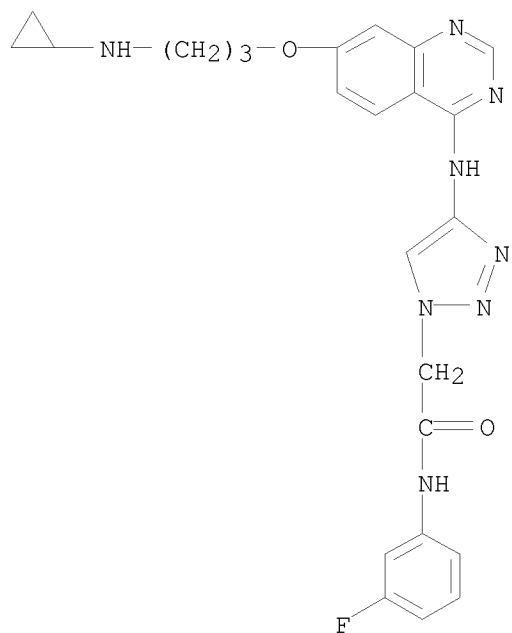


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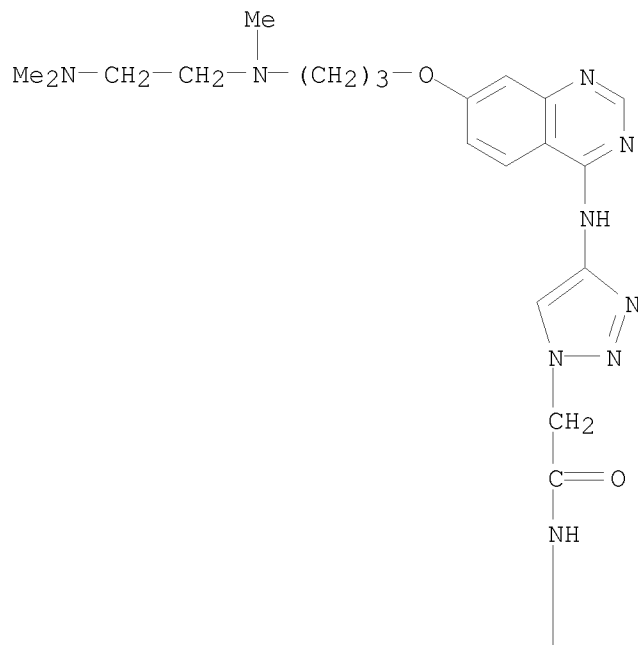
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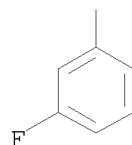


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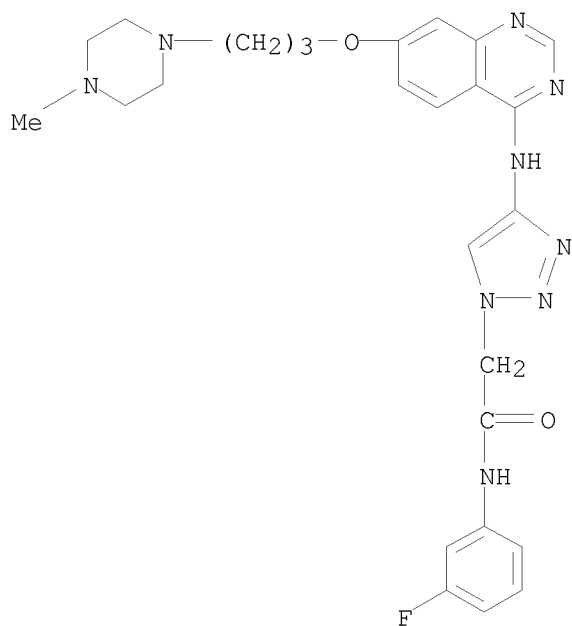
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PAGE 1-A



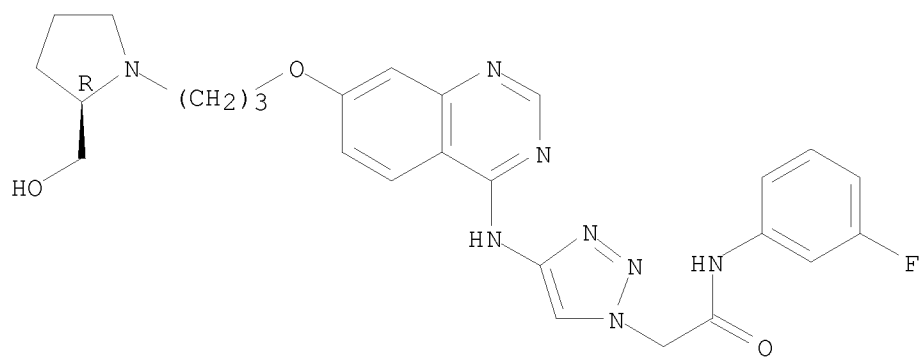


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RN 816430-30-5 CAPLUS  
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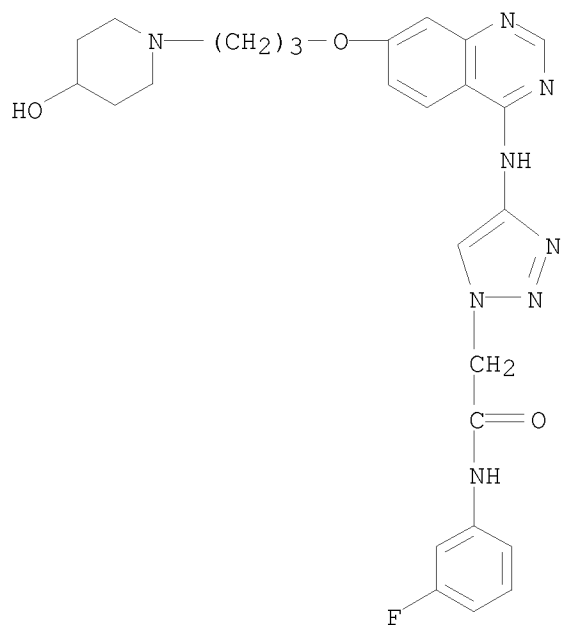
Absolute stereochemistry.



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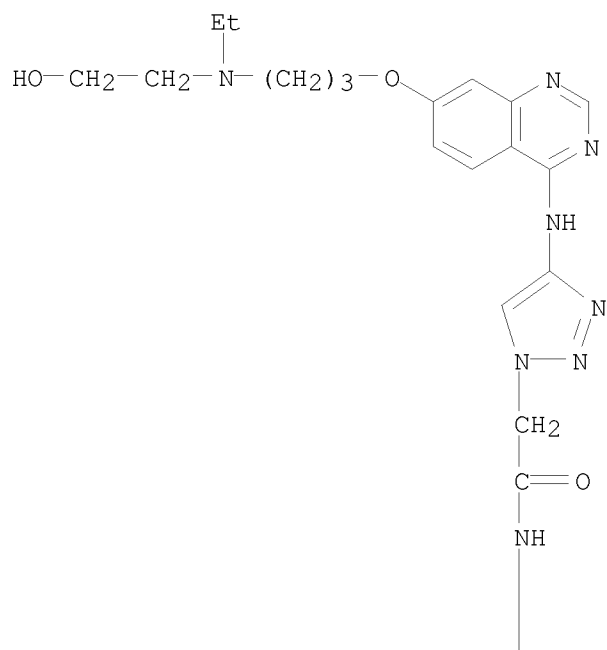
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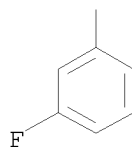


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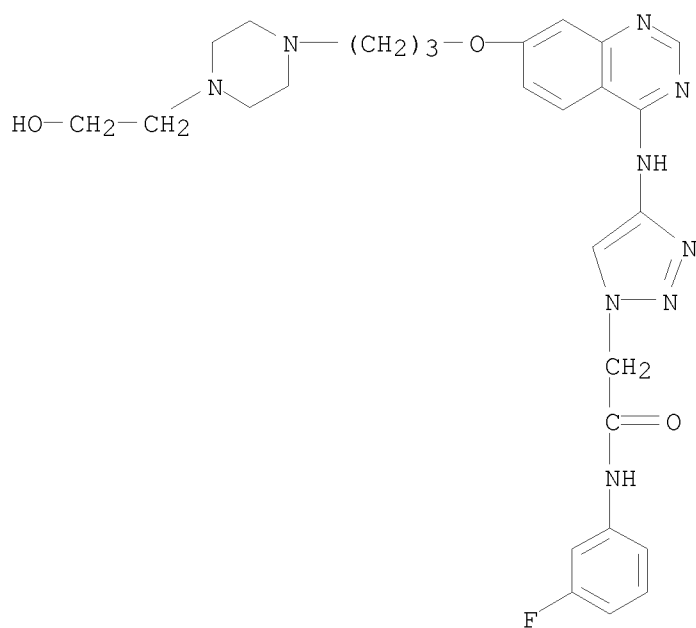






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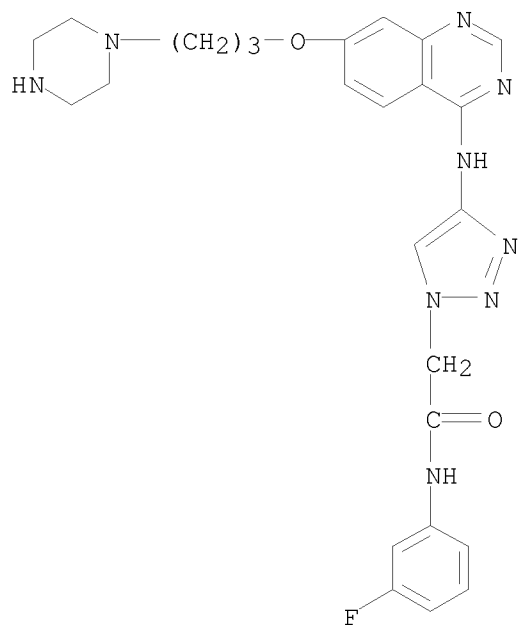
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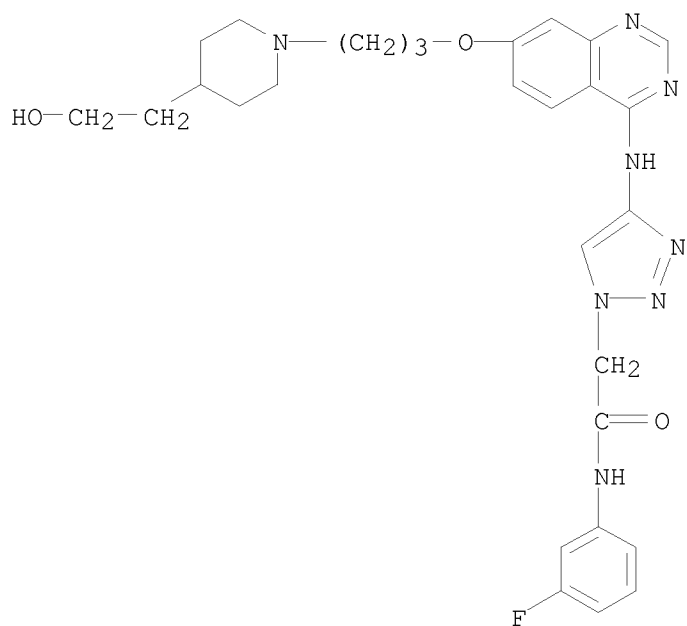
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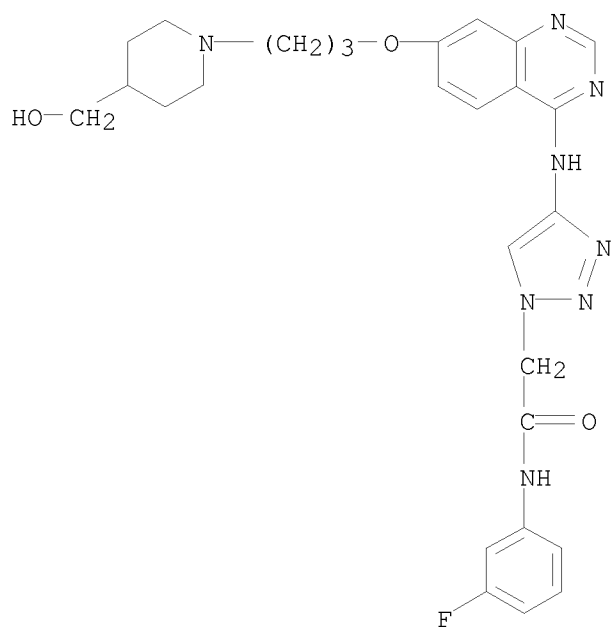
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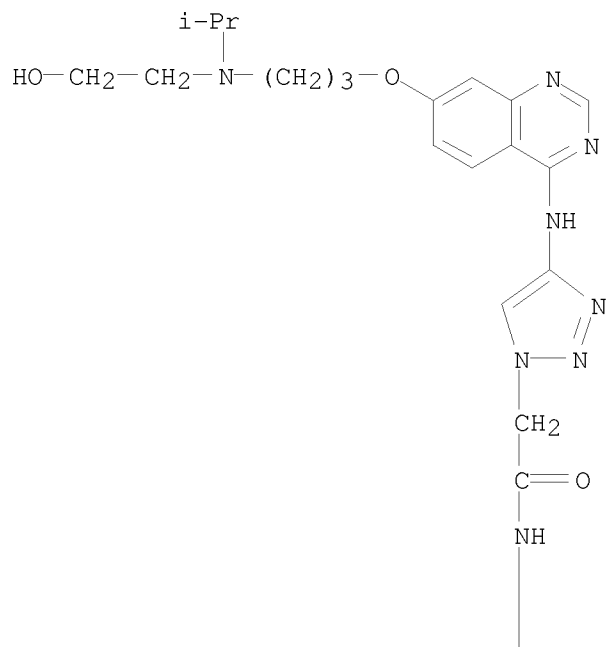
CN 1H-1,2,3-Triazole-1-acetamide, N-(3-fluorophenyl)-4-[[7-[3-[4-(hydroxymethyl)-1-piperidinyl]propoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

10/ 560,659

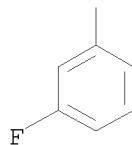


RN 816430-37-2 CAPLUS  
 CN 1H-1,2,3-Triazole-1-acetamide, N-(3-fluorophenyl)-4-[[7-[3-[(2-hydroxyethyl)(1-methylethyl)amino]propoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

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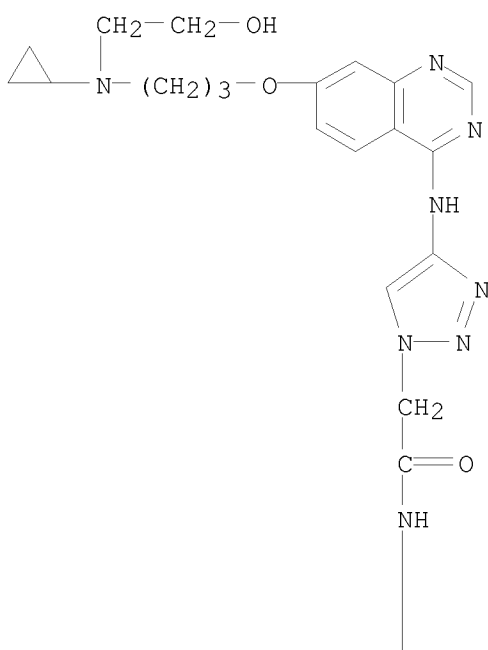


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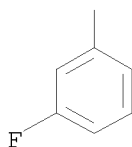


RN 816430-38-3 CAPLUS  
 CN 1H-1,2,3-Triazole-1-acetamide, 4-[[7-[3-[cyclopropyl(2-hydroxyethyl)amino]propoxy]-4-quinazolinyl]amino]-N-(3-fluorophenyl)- (CA INDEX NAME)

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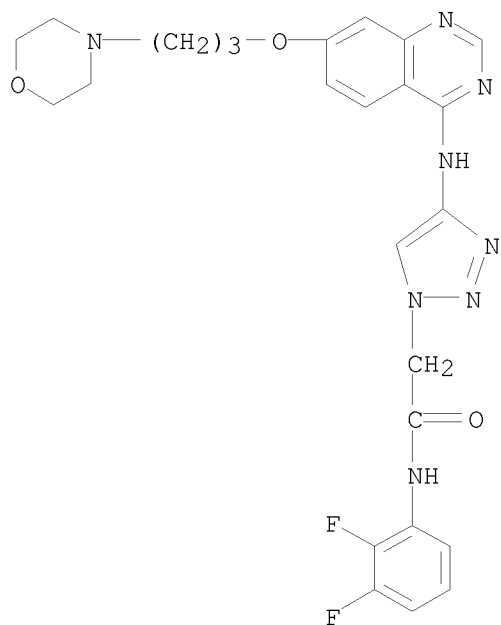


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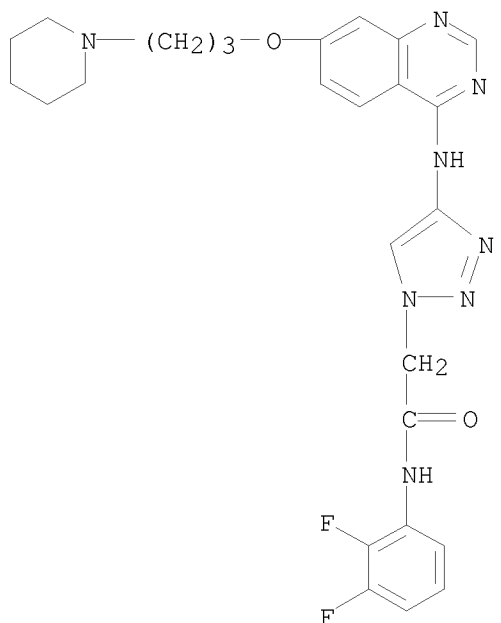
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 CN 1H-1,2,3-Triazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

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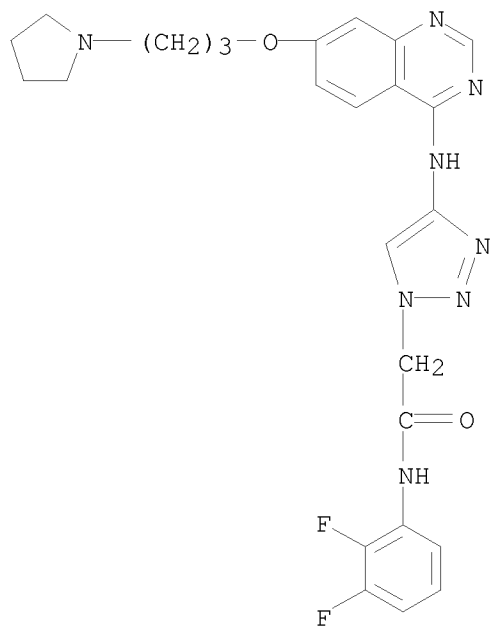
RN 816430-40-7 CAPLUS

CN 1H-1,2,3-Triazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-[3-(1-piperidinyl)propoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)



RN 816430-41-8 CAPLUS

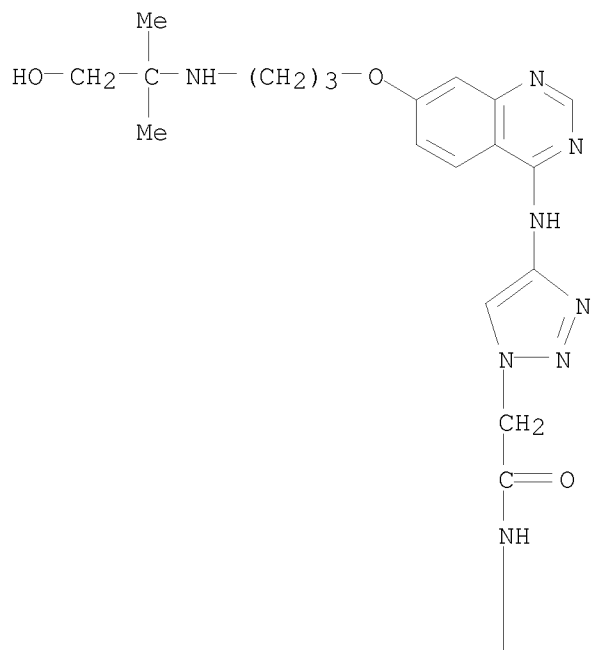
CN 1H-1,2,3-Triazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-[3-(1-pyrrolidinyl)propoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

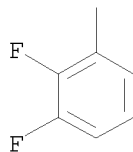


RN 816430-42-9 CAPLUS

CN 1H-1,2,3-Triazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-[[3-[(2-hydroxy-1,1-dimethylethyl)amino]propoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

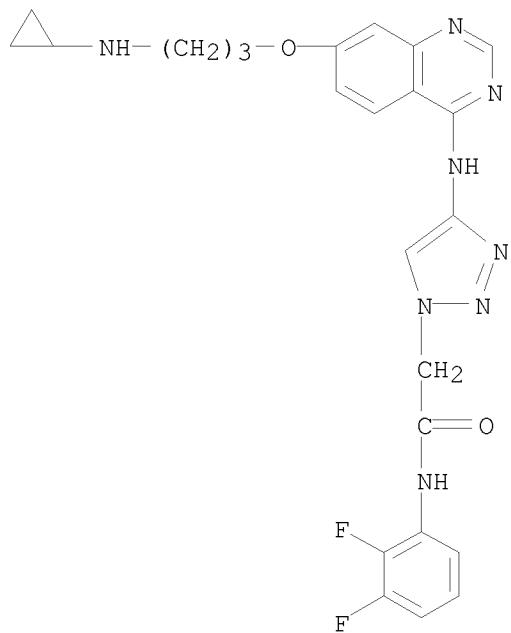
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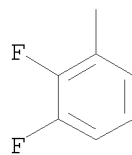
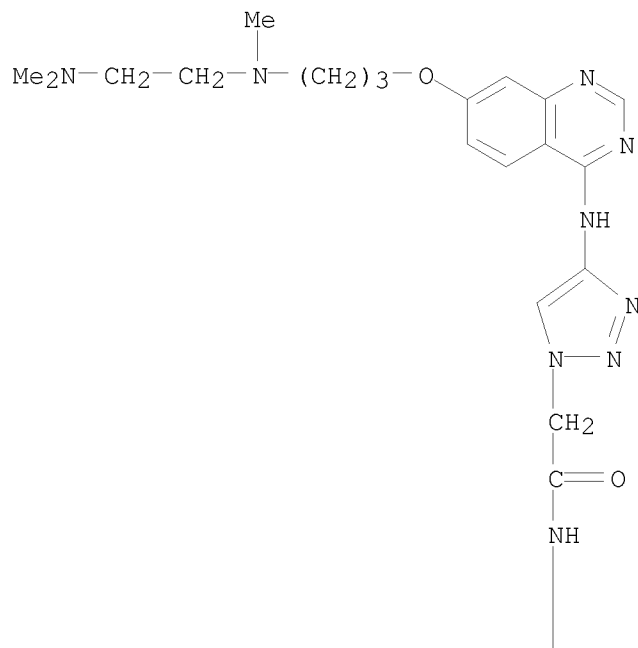
RN 816430-43-0 CAPLUS

CN 1H-1,2,3-Triazole-1-acetamide, 4-[[7-[3-(cyclopropylamino)propoxy]-4-quinazolinyl]amino]-N-(2,3-difluorophenyl)- (CA INDEX NAME)



RN 816430-44-1 CAPLUS

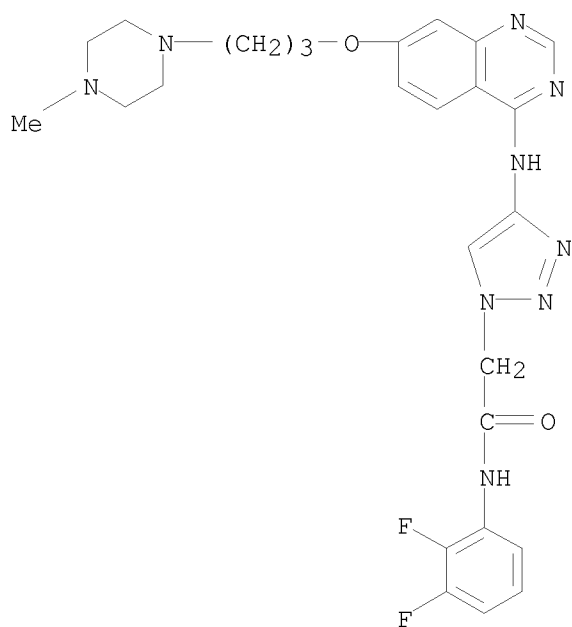
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RN 816430-45-2 CAPLUS  
 CN 1H-1,2,3-Triazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-[3-(4-methyl-1-piperazinyl)propoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)



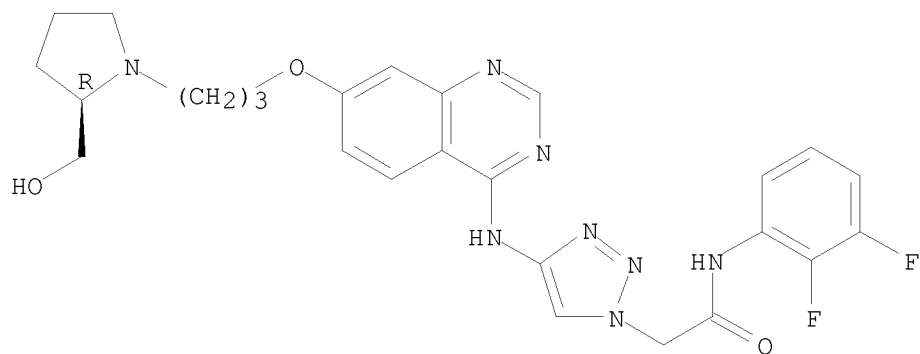
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RN 816430-46-3 CAPLUS

CN 1H-1,2,3-Triazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-[3-[(2R)-2-(hydroxymethyl)-1-pyrrolidinyl]propoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

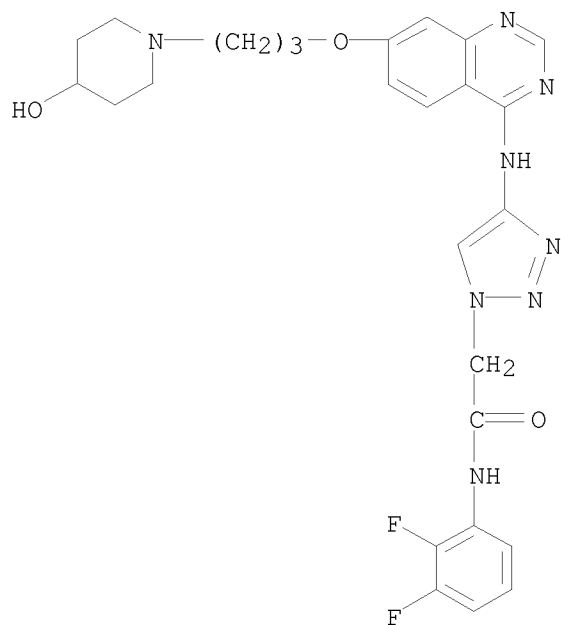
Absolute stereochemistry.



RN 816430-47-4 CAPLUS

CN 1H-1,2,3-Triazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-[3-(4-hydroxy-1-piperidinyl)propoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

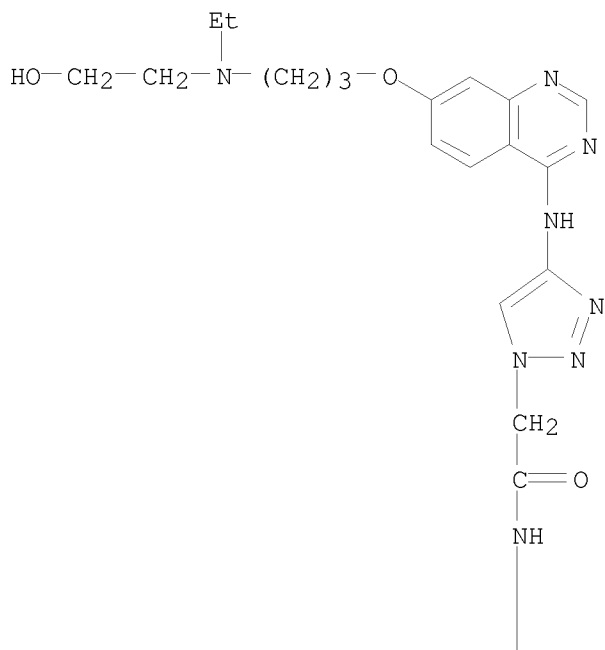
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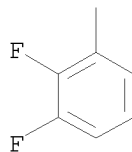


RN 816430-48-5 CAPLUS

CN 1H-1,2,3-Triazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-[3-[ethyl(2-hydroxyethyl)amino]propoxy]-4-quinazoliny]amino]- (CA INDEX NAME)

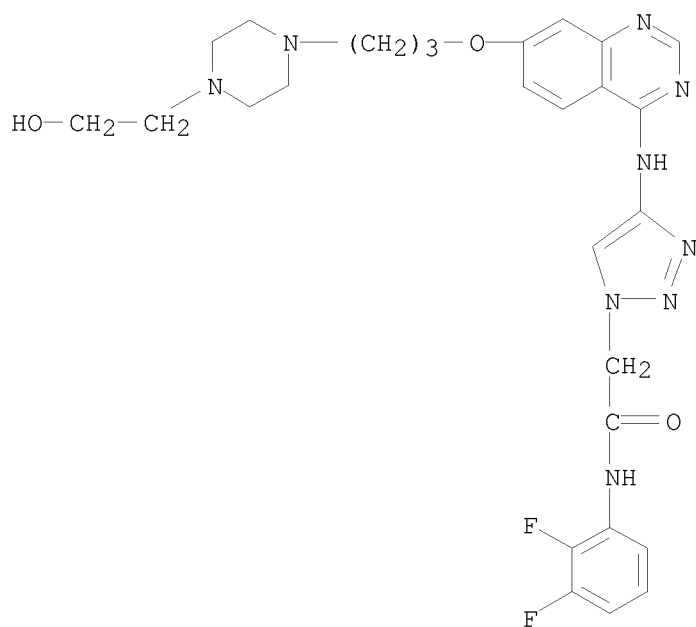
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RN 816430-49-6 CAPLUS

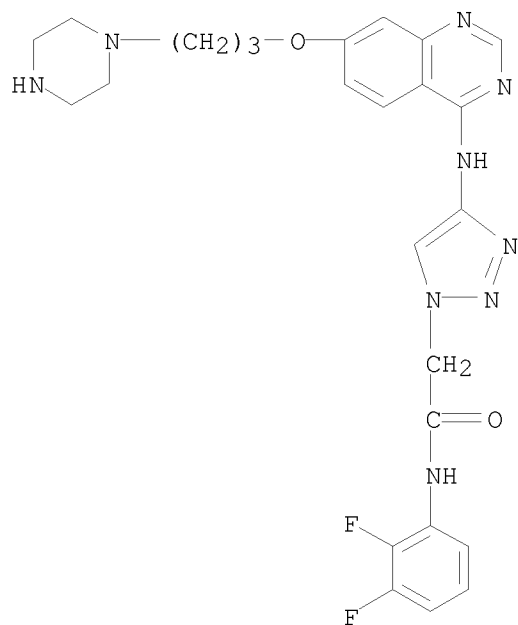
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RN 816430-50-9 CAPLUS

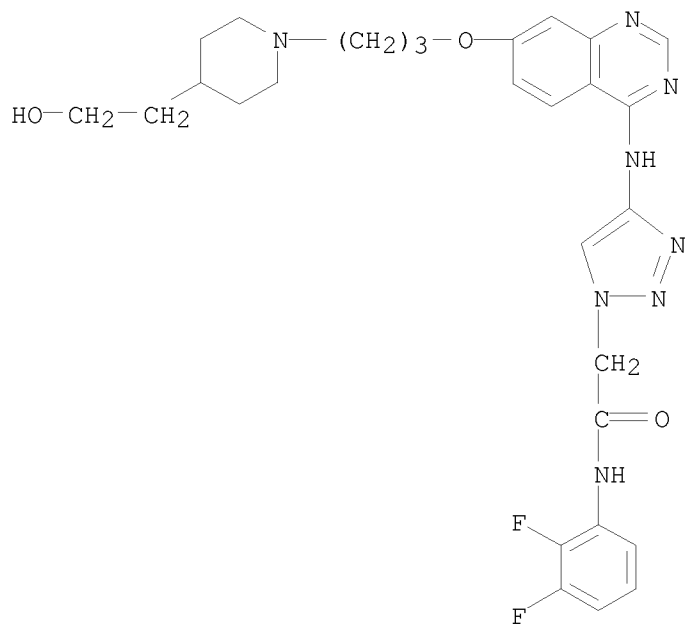
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RN 816430-51-0 CAPLUS

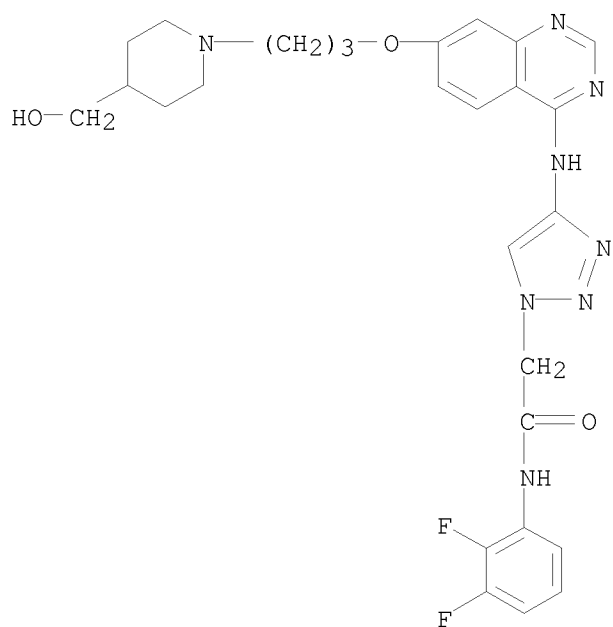
CN 1H-1,2,3-Triazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-[3-[4-(2-hydroxyethyl)-1-piperidiny]propoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)



RN 816430-52-1 CAPLUS

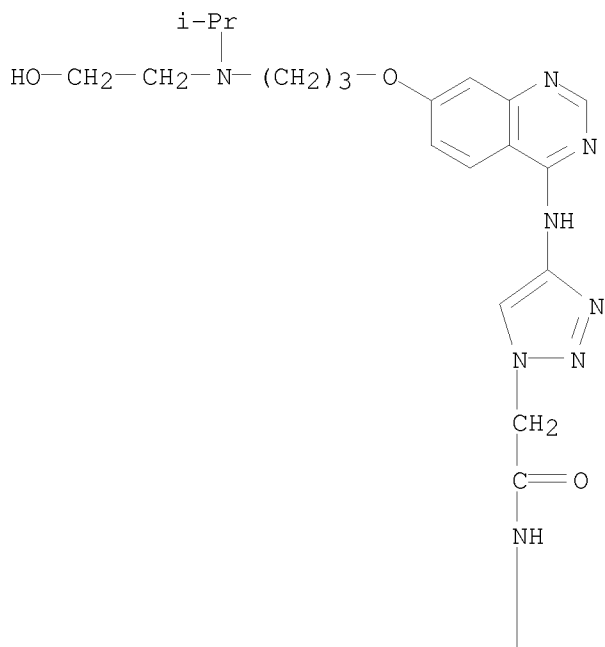
CN 1H-1,2,3-Triazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-[3-[4-(hydroxymethyl)-1-piperidiny]propoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

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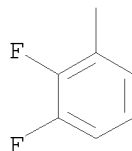


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 CN 1H-1,2,3-Triazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-[3-[(2-hydroxyethyl)(1-methylethyl)amino]propoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

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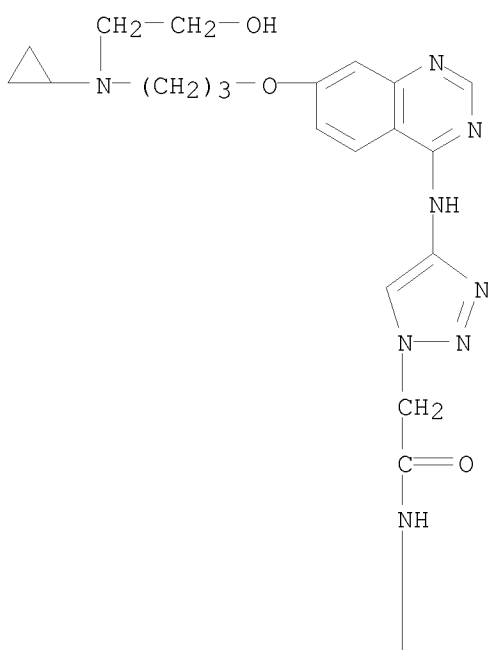


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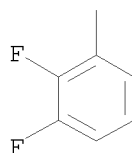


RN 816430-54-3 CAPLUS  
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 (CA INDEX NAME)

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